

=> fil lreg

FILE 'LREGISTRY' ENTERED AT 11:02:37 ON 16 SEP 2004
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LREGISTRY IS A STATIC LEARNING FILE

=> fil reg

FILE 'REGISTRY' ENTERED AT 11:02:39 ON 16 SEP 2004
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 14 SEP 2004 HIGHEST RN 744786-72-9
DICTIONARY FILE UPDATES: 14 SEP 2004 HIGHEST RN 744786-72-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 11:02:45 ON 16 SEP 2004
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FILE COVERS 1907 - 16 Sep 2004 VOL 141 ISS 12
FILE LAST UPDATED: 15 Sep 2004 (20040915/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> fil uspatfull

FILE 'USPATFULL' ENTERED AT 11:02:49 ON 16 SEP 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 14 Sep 2004 (20040914/PD)
FILE LAST UPDATED: 14 Sep 2004 (20040914/ED)
HIGHEST GRANTED PATENT NUMBER: US6792618
HIGHEST APPLICATION PUBLICATION NUMBER: US2004177424
CA INDEXING IS CURRENT THROUGH 14 Sep 2004 (20040914/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 14 Sep 2004 (20040914/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2004
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2004

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate
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=> fil toxcenter

FILE 'TOXCENTER' ENTERED AT 11:02:54 ON 16 SEP 2004
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FILE COVERS 1907 TO 14 Sep 2004 (20040914/ED)

This file contains CAS Registry Numbers for easy and accurate substance
identification.

TOXCENTER has been enhanced with new files segments and search fields.
See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the
MeSH 2004 vocabulary. See <http://www.nlm.nih.gov/mesh/> and
http://www.nlm.nih.gov/pubs/techbull/nd03/nd03_mesh.html for a
description of changes.

=> FIL STNGUIDE

FILE 'STNGUIDE' ENTERED AT 11:02:57 ON 16 SEP 2004

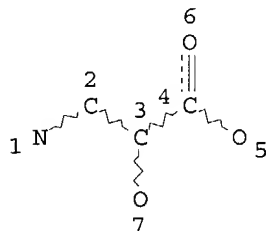
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
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 AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Sep 10, 2004 (20040910/UP).

=> d que l11

L1 (1)SEA FILE=HCAPLUS ABB=ON PLU=ON US2003-635342/AP,PRN
 L2 SEL PLU=ON L1 1- RN : 90 TERMS
 L3 90 SEA FILE=REGISTRY ABB=ON PLU=ON L2
 L4 STR



NODE ATTRIBUTES:

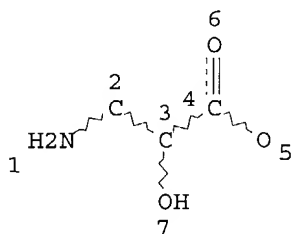
NSPEC IS RC AT 1
 NSPEC IS RC AT 5
 CONNECT IS E1 RC AT 7
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE

L5 (11594)SEA FILE=REGISTRY SSS FUL L4
 L6 STR



NODE ATTRIBUTES:

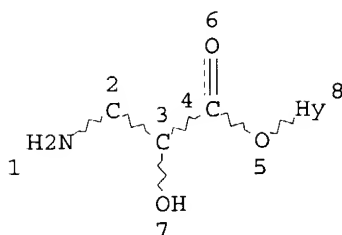
NSPEC IS RC AT 5
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE

L7 (827)SEA FILE=REGISTRY SUB=L5 SSS FUL L6
 L8 STR



NODE ATTRIBUTES:

NSPEC IS RC AT 5
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L9 (98)SEA FILE=REGISTRY SUB=L7 SSS FUL L8
 L10 729 SEA FILE=REGISTRY ABB=ON PLU=ON L7 NOT L9
 L11 30 SEA FILE=REGISTRY ABB=ON PLU=ON L3 AND L10

=> d l12

L12 ANALYZE L11 1- LC : 5 TERMS

TERM #	# OCC	# DOC	% DOC	LC
1	30	30	100.00	CA
2	30	30	100.00	CAPLUS
3	30	30	100.00	TOXCENTER
4	30	30	100.00	USPATFULL
5	1	1	3.33	CASREACT

***** END OF L12***

=> d que l13 nos

L1 (1)SEA FILE=HCAPLUS ABB=ON PLU=ON US2003-635342/AP,PRN
 L2 SEL PLU=ON L1 1- RN : 90 TERMS
 L3 90 SEA FILE=REGISTRY ABB=ON PLU=ON L2
 L4 STR
 L5 (11594)SEA FILE=REGISTRY SSS FUL L4
 L6 STR
 L7 (827)SEA FILE=REGISTRY SUB=L5 SSS FUL L6
 L8 STR
 L9 (98)SEA FILE=REGISTRY SUB=L7 SSS FUL L8
 L10 729 SEA FILE=REGISTRY ABB=ON PLU=ON L7 NOT L9
 L11 30 SEA FILE=REGISTRY ABB=ON PLU=ON L3 AND L10
 L13 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L11

=> d que l14 nos

L1 (1)SEA FILE=HCAPLUS ABB=ON PLU=ON US2003-635342/AP,PRN
 L2 SEL PLU=ON L1 1- RN : 90 TERMS
 L3 90 SEA FILE=REGISTRY ABB=ON PLU=ON L2
 L4 STR
 L5 (11594)SEA FILE=REGISTRY SSS FUL L4

L6 STR
L7 (827)SEA FILE=REGISTRY SUB=L5 SSS FUL L6
L8 STR
L9 (98)SEA FILE=REGISTRY SUB=L7 SSS FUL L8
L10 729 SEA FILE=REGISTRY ABB=ON PLU=ON L7 NOT L9
L11 30 SEA FILE=REGISTRY ABB=ON PLU=ON L3 AND L10
L14 4 SEA FILE=USPATFULL ABB=ON PLU=ON L11

=> d que 115 nos

L1 (1)SEA FILE=HCAPLUS ABB=ON PLU=ON US2003-635342/AP,PRN
L2 SEL PLU=ON L1 1- RN : 90 TERMS
L3 90 SEA FILE=REGISTRY ABB=ON PLU=ON L2
L4 STR
L5 (11594)SEA FILE=REGISTRY SSS FUL L4
L6 STR
L7 (827)SEA FILE=REGISTRY SUB=L5 SSS FUL L6
L8 STR
L9 (98)SEA FILE=REGISTRY SUB=L7 SSS FUL L8
L10 729 SEA FILE=REGISTRY ABB=ON PLU=ON L7 NOT L9
L11 30 SEA FILE=REGISTRY ABB=ON PLU=ON L3 AND L10
L15 3 SEA FILE=TOXCENTER ABB=ON PLU=ON L11

=> dup rem 113 114 115

FILE 'HCAPLUS' ENTERED AT 11:04:21 ON 16 SEP 2004
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FILE 'TOXCENTER' ENTERED AT 11:04:21 ON 16 SEP 2004
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PROCESSING COMPLETED FOR L13
PROCESSING COMPLETED FOR L14
PROCESSING COMPLETED FOR L15
L85 8 DUP REM L13 L14 L15 (5 DUPLICATES REMOVED)
ANSWERS '1-6' FROM FILE HCAPLUS
ANSWERS '7-8' FROM FILE USPATFULL

=> d ibib hitstr abs retable

L85 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2004:120817 HCAPLUS
DOCUMENT NUMBER: 140:164234
TITLE: Preparation of 3-amino-2-hydroxyalkanoic acids and
their prodrugs
INVENTOR(S): Bamaung, Nwe Y.; Craig, Richard A.; Henkin, Jack;
Kawai, Megumi; Searle, Xenia B.; Sheppard, George S.;
Wang, Jieyi
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Apr
NRA

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013085	A1	20040212	WO 2003-US24396	20030805

W: CA, JP, MX
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

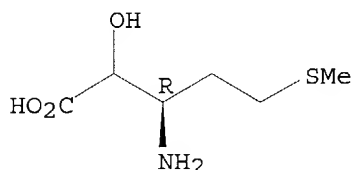
PRIORITY APPLN. INFO.: US 2002-213655 A 20020806
 OTHER SOURCE(S): MARPAT 140:164234

IT 248928-74-7P 369360-56-5P 656833-28-2P
 656833-29-3P 656833-30-6P 656833-31-7P
 656833-32-8P 656833-33-9P 656833-34-0P
 656833-35-1P 656833-36-2P 656833-37-3P
 656833-38-4P 656833-39-5P 656833-40-8P
 656833-41-9P 656833-42-0P 656833-43-1P
 656833-44-2P 656833-45-3P 656833-46-4P
 656833-47-5P 656833-48-6P 656833-49-7P
 656833-50-0P 656833-51-1P 656833-52-2P
 656833-53-3P 656833-54-4P 656833-88-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of aminohydroxyalkanoic acids and prodrugs)

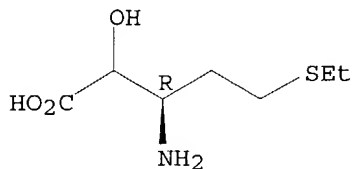
RN 248928-74-7 HCAPLUS
 CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-methyl-5-thio-, (2ξ)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



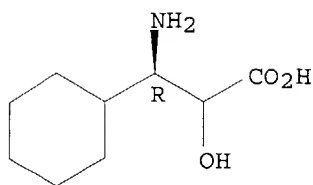
RN 369360-56-5 HCAPLUS
 CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, (2ξ)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 656833-28-2 HCAPLUS
 CN Cyclohexanepropanoic acid, β-amino-α-hydroxy-, (βR)- (9CI)
 (CA INDEX NAME)

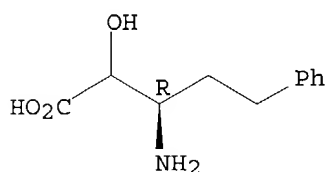
Absolute stereochemistry.



RN 656833-29-3 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4,5-trideoxy-5-phenyl-, (2ξ)-(9CI)
(CA INDEX NAME)

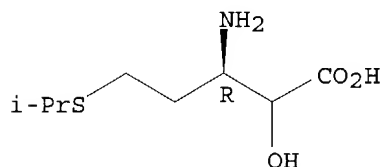
Absolute stereochemistry.



RN 656833-30-6 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-(1-methylethyl)-5-thio-,
(2ξ)-(9CI) (CA INDEX NAME)

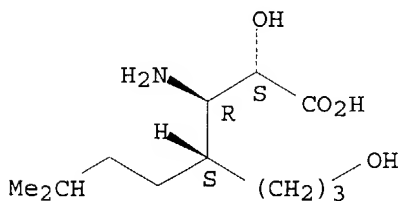
Absolute stereochemistry.



RN 656833-31-7 HCAPLUS

CN L-xyllo-Heptonic acid, 3-amino-3,4,5,6-tetradecoxy-4-(3-methylbutyl)- (9CI)
(CA INDEX NAME)

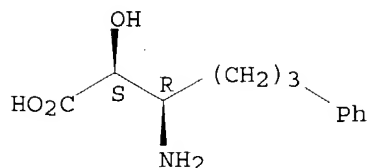
Absolute stereochemistry.



RN 656833-32-8 HCAPLUS

CN Benzenehexanoic acid, β-amino-α-hydroxy-, (αS,βR)-
(9CI) (CA INDEX NAME)

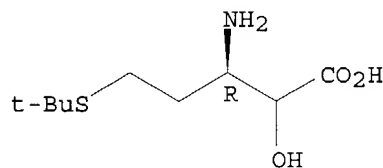
Absolute stereochemistry.



RN 656833-33-9 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-(1,1-dimethylethyl)-5-thio-, (2ξ)- (9CI) (CA INDEX NAME)

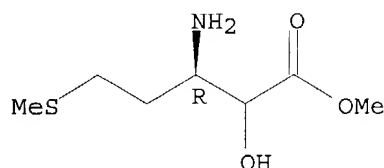
Absolute stereochemistry.



RN 656833-34-0 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-methyl-5-thio-, methyl ester, (2ξ)- (9CI) (CA INDEX NAME)

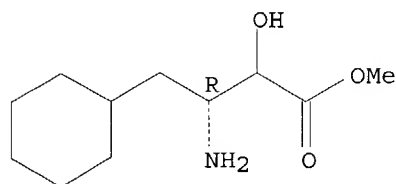
Absolute stereochemistry.



RN 656833-35-1 HCAPLUS

CN Cyclohexanebutanoic acid, β-amino-α-hydroxy-, methyl ester, (βR)- (9CI) (CA INDEX NAME)

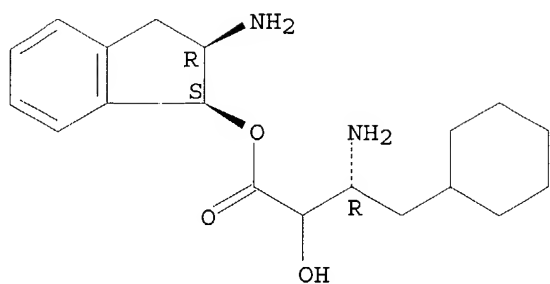
Absolute stereochemistry.



RN 656833-36-2 HCAPLUS

CN Cyclohexanebutanoic acid, β-amino-α-hydroxy-, (1S,2R)-2-amino-2,3-dihydro-1H-inden-1-yl ester, (βR)- (9CI) (CA INDEX NAME)

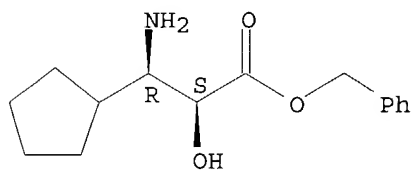
Absolute stereochemistry.



RN 656833-37-3 HCAPLUS

CN Cyclopentanepropanoic acid, β -amino- α -hydroxy-, phenylmethyl ester, (α S, β R)- (9CI) (CA INDEX NAME)

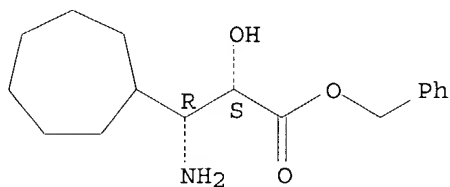
Absolute stereochemistry.



RN 656833-38-4 HCAPLUS

CN Cycloheptanepropanoic acid, β -amino- α -hydroxy-, phenylmethyl ester, (α S, β R)- (9CI) (CA INDEX NAME)

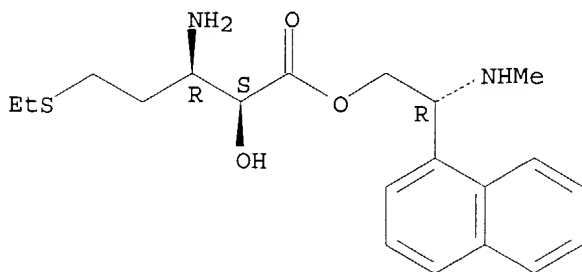
Absolute stereochemistry.



RN 656833-39-5 HCAPLUS

CN D-threo-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, (2R)-2-(methylamino)-2-(1-naphthalenyl)ethyl ester (9CI) (CA INDEX NAME)

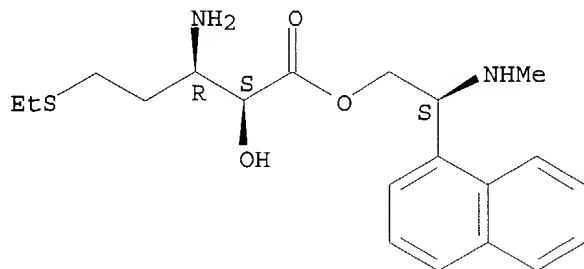
Absolute stereochemistry.



RN 656833-40-8 HCAPLUS

CN D-threo-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-,
(2S)-2-(methylamino)-2-(1-naphthalenyl)ethyl ester (9CI) (CA INDEX NAME)

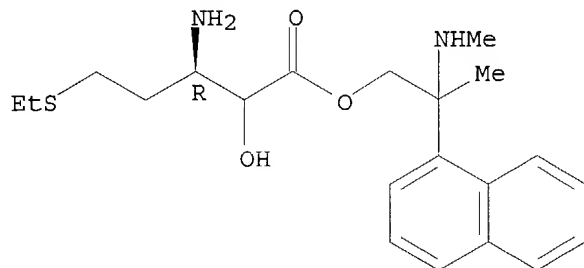
Absolute stereochemistry.



RN 656833-41-9 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-,
2-(methylamino)-2-(1-naphthalenyl)propyl ester, (2ξ)-(9CI) (CA INDEX NAME)

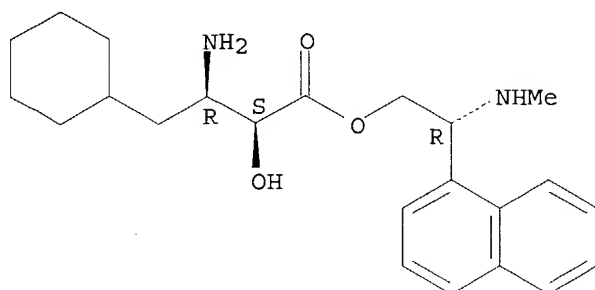
Absolute stereochemistry.



RN 656833-42-0 HCAPLUS

CN Cyclohexanebutanoic acid, β-amino-α-hydroxy-,
(2R)-2-(methylamino)-2-(1-naphthalenyl)ethyl ester, (αS,βR)-
(9CI) (CA INDEX NAME)

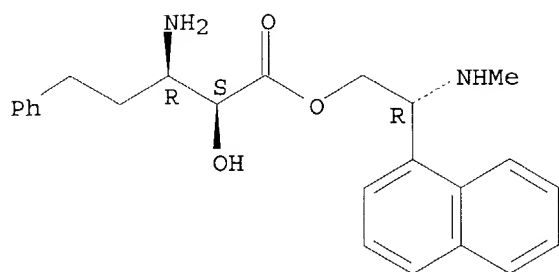
Absolute stereochemistry.



RN 656833-43-1 HCAPLUS

CN D-threo-Pentonic acid, 3-amino-3,4,5-trideoxy-5-phenyl-,
(2R)-2-(methylamino)-2-(1-naphthalenyl)ethyl ester (9CI) (CA INDEX NAME)

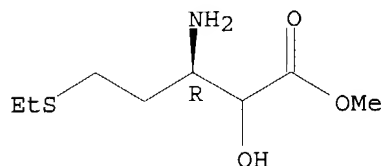
Absolute stereochemistry.



RN 656833-44-2 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, methyl ester, (2ξ)-(9CI) (CA INDEX NAME)

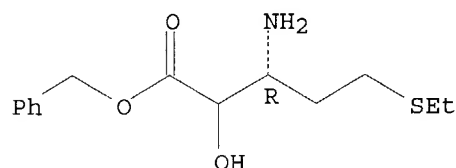
Absolute stereochemistry.



RN 656833-45-3 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, phenylmethyl ester, (2ξ)-(9CI) (CA INDEX NAME)

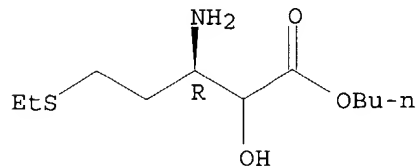
Absolute stereochemistry.



RN 656833-46-4 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, butyl ester, (2ξ)-(9CI) (CA INDEX NAME)

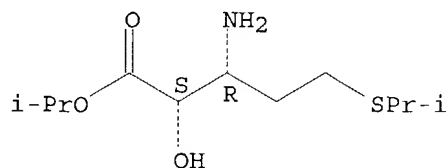
Absolute stereochemistry.



RN 656833-47-5 HCAPLUS

CN D-threo-Pentonic acid, 3-amino-3,4-dideoxy-5-S-(1-methylethyl)-5-thio-, 1-methylethyl ester (9CI) (CA INDEX NAME)

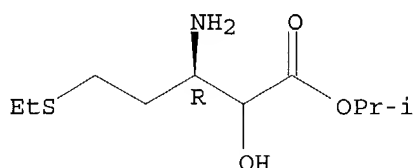
Absolute stereochemistry.



RN 656833-48-6 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-,
1-methylethyl ester, (2ξ)-(9CI) (CA INDEX NAME)

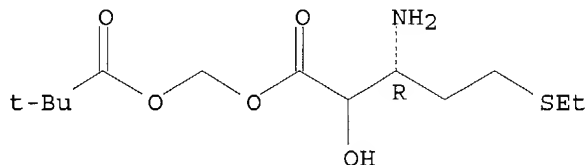
Absolute stereochemistry.



RN 656833-49-7 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-,
(2,2-dimethyl-1-oxopropoxy)methyl ester, (2ξ)-(9CI) (CA INDEX NAME)

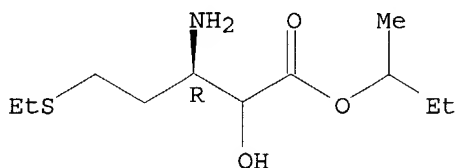
Absolute stereochemistry.



RN 656833-50-0 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-,
1-methylpropyl ester, (2ξ)-(9CI) (CA INDEX NAME)

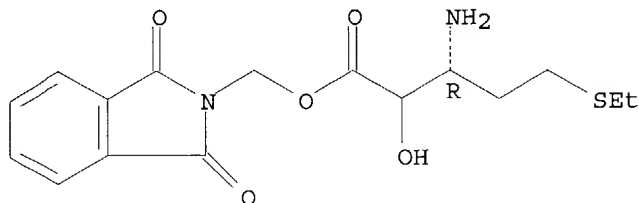
Absolute stereochemistry.



RN 656833-51-1 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-,
(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl ester, (2ξ)-(9CI) (CA
INDEX NAME)

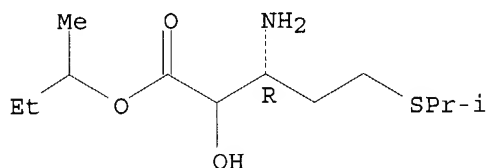
Absolute stereochemistry.



RN 656833-52-2 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-(1-methylethyl)-5-thio-, 1-methylpropyl ester, (2ξ)-(9CI) (CA INDEX NAME)

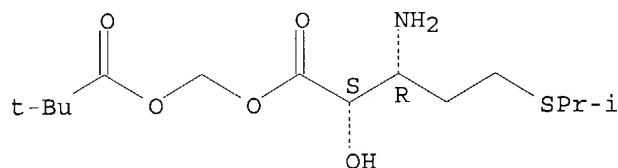
Absolute stereochemistry.



RN 656833-53-3 HCAPLUS

CN D-threo-Pentonic acid, 3-amino-3,4-dideoxy-5-S-(1-methylethyl)-5-thio-, (2,2-dimethyl-1-oxopropoxy)methyl ester (9CI) (CA INDEX NAME)

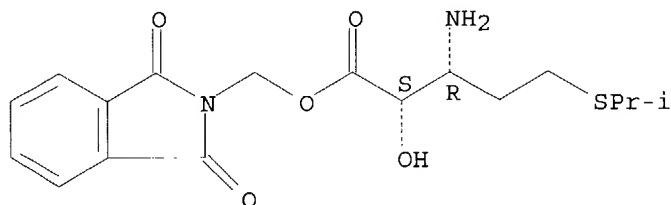
Absolute stereochemistry.



RN 656833-54-4 HCAPLUS

CN D-threo-Pentonic acid, 3-amino-3,4-dideoxy-5-S-(1-methylethyl)-5-thio-, (1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl ester (9CI) (CA INDEX NAME)

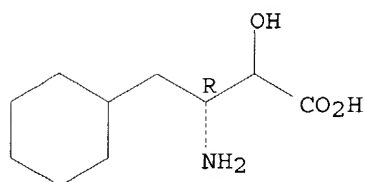
Absolute stereochemistry.



RN 656833-88-4 HCAPLUS

CN Cyclohexanebutanoic acid, β-amino-α-hydroxy-, (βR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB β -Amino acid derivs. $\text{H}_2\text{NCHR}_1\text{CH}(\text{OH})\text{CO}_2\text{R}_2$ [R_1 is alkyl, alkylthioalkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocyclalkyl, or hydroxyalkyl; R_2 is H, alkenyl, alkyl, alkylcarbonyloxyalkyl, alkylcarbonylalkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycl, or heterocyclalkyl] or their therapeutically-acceptable salts were prepared for use in treating conditions which arise from or are exacerbated by angiogenesis. Pharmaceutical compns. containing these compds. are used in methods for inhibiting angiogenesis and treating cancer. Thus, (2R,3R)-3-amino-2-hydroxy-5-(methylthio)pentanoic acid was prepared from Boc-D-Met-OH (Boc = tert-butoxycarbonyl) by reduction with sodium bis(2-methoxyethoxy)aluminum hydride (Red-Al), oxidation of the formed hydroxymethyl group with sulfur trioxide pyridine complex, reaction with KCN and in situ hydrolysis of the cyanohydrin with 12 M HCl.

=> d ibib hitstr abs retable 2-6

L85 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2004:513345 HCAPLUS

DOCUMENT NUMBER: 141:59732

TITLE: 3-Amino-2-hydroxyalkanoic acids and their prodrugs

INVENTOR(S): Bamaung, Nwe Y.; Craig, Richard A.; Henkin, Jack; Kawai, Megumi; Searle, Xenia B.; Sheppard, George S.; Wang, Jieyi

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 17 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004122098	A1	20040624	US 2003-635342	20030806
PRIORITY APPLN. INFO.:			US 2002-401317P	P 20020806

OTHER SOURCE(S): MARPAT 141:59732

IT 248928-74-7P 369360-56-5P 656833-28-2P
 656833-29-3P 656833-30-6P 656833-31-7P
 656833-32-8P 656833-33-9P 656833-34-0P
 656833-35-1P 656833-36-2P 656833-37-3P
 656833-38-4P 656833-39-5P 656833-40-8P
 656833-41-9P 656833-42-0P 656833-43-1P
 656833-44-2P 656833-45-3P 656833-46-4P
 656833-47-5P 656833-48-6P 656833-49-7P
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 656833-53-3P 656833-54-4P 656833-88-4P

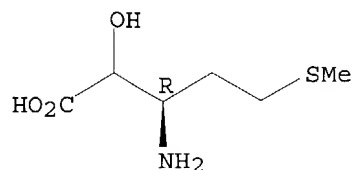
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 3-amino-2-hydroxyalkanoic acids and their prodrugs for

treating conditions which arise from or are exacerbated by angiogenesis)

RN 248928-74-7 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-methyl-5-thio-, (2ξ) - (9CI) (CA INDEX NAME)

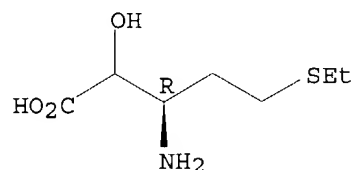
Absolute stereochemistry.



RN 369360-56-5 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, (2ξ) - (9CI) (CA INDEX NAME)

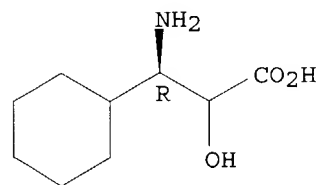
Absolute stereochemistry.



RN 656833-28-2 HCAPLUS

CN Cyclohexanepropanoic acid, β-amino-α-hydroxy-, (βR) - (9CI) (CA INDEX NAME)

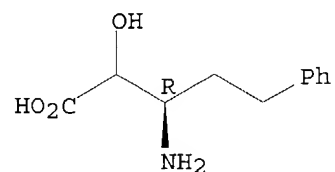
Absolute stereochemistry.



RN 656833-29-3 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4,5-trideoxy-5-phenyl-, (2ξ) - (9CI) (CA INDEX NAME)

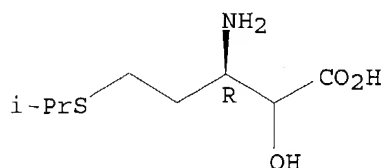
Absolute stereochemistry.



RN 656833-30-6 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-(1-methylethyl)-5-thio-,
(2ξ)-(9CI) (CA INDEX NAME)

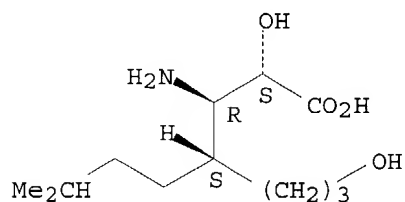
Absolute stereochemistry.



RN 656833-31-7 HCAPLUS

CN L-xyllo-Heptonic acid, 3-amino-3,4,5,6-tetradecoxy-4-(3-methylbutyl)-(9CI)
(CA INDEX NAME)

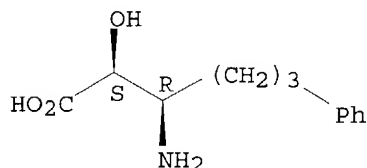
Absolute stereochemistry.



RN 656833-32-8 HCAPLUS

CN Benzenhexanoic acid, β-amino-α-hydroxy-, (αS,βR)-
(9CI) (CA INDEX NAME)

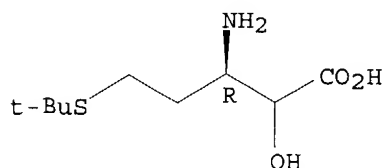
Absolute stereochemistry.



RN 656833-33-9 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-(1,1-dimethylethyl)-5-thio-, (2ξ)-(9CI) (CA INDEX NAME)

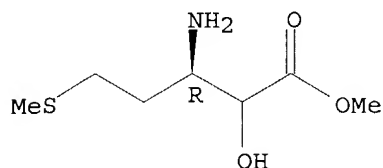
Absolute stereochemistry.



RN 656833-34-0 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-methyl-5-thio-, methyl ester, (2ξ)-(9CI) (CA INDEX NAME)

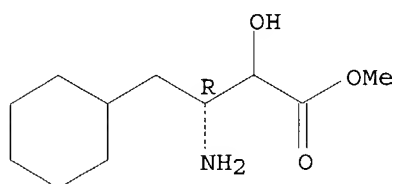
Absolute stereochemistry.



RN 656833-35-1 HCAPLUS

CN Cyclohexanebutanoic acid, β -amino- α -hydroxy-, methyl ester,
(β R) - (9CI) (CA INDEX NAME)

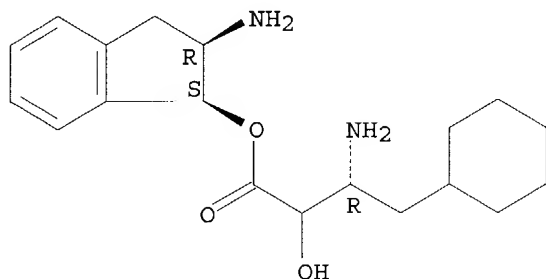
Absolute stereochemistry.



RN 656833-36-2 HCAPLUS

CN Cyclohexanebutanoic acid, β -amino- α -hydroxy-,
(1S,2R)-2-amino-2,3-dihydro-1H-inden-1-yl ester, (β R) - (9CI) (CA
INDEX NAME)

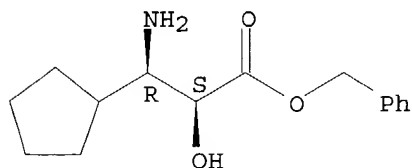
Absolute stereochemistry.



RN 656833-37-3 HCAPLUS

CN Cyclopentanepropanoic acid, β -amino- α -hydroxy-, phenylmethyl
ester, (α S, β R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

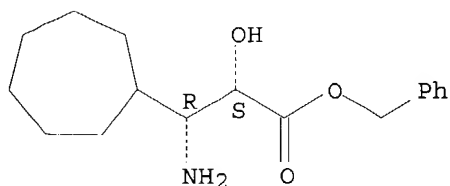


RN 656833-38-4 HCAPLUS

CN Cycloheptanepropanoic acid, β -amino- α -hydroxy-, phenylmethyl

ester, (α S, β R) - (9CI) (CA INDEX NAME)

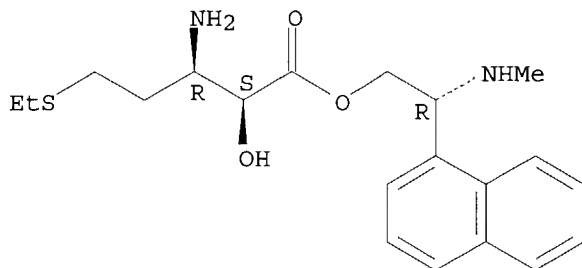
Absolute stereochemistry.



RN 656833-39-5 HCAPLUS

CN D-threo-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-,
(2R)-2-(methylamino)-2-(1-naphthalenyl)ethyl ester (9CI) (CA INDEX NAME)

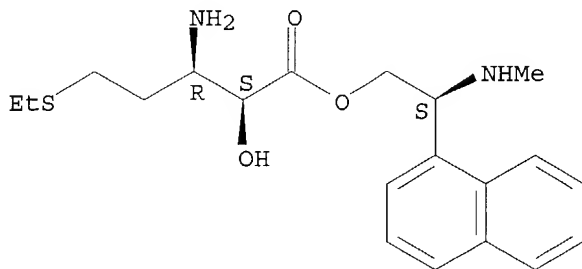
Absolute stereochemistry.



RN 656833-40-8 HCAPLUS

CN D-threo-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-,
(2S)-2-(methylamino)-2-(1-naphthalenyl)ethyl ester (9CI) (CA INDEX NAME)

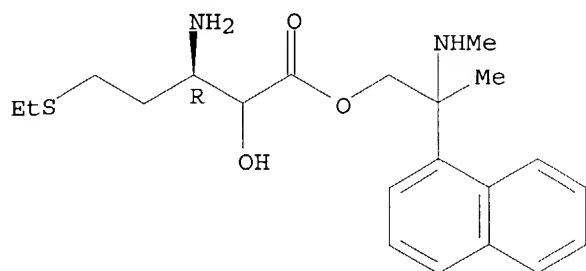
Absolute stereochemistry.



RN 656833-41-9 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-,
2-(methylamino)-2-(1-naphthalenyl)propyl ester, (2ξ) - (9CI) (CA INDEX
NAME)

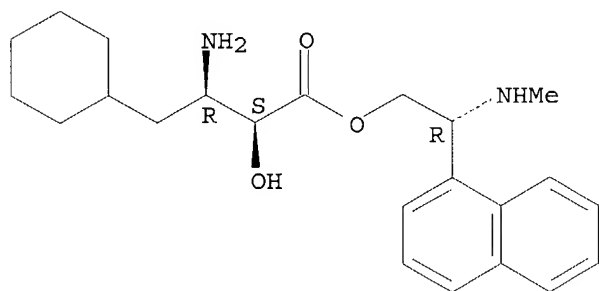
Absolute stereochemistry.



RN 656833-42-0 HCAPLUS

CN Cyclohexanebutanoic acid, β-amino-α-hydroxy-,
(2R)-2-(methylamino)-2-(1-naphthalenyl)ethyl ester, (αS,βR)-
(9CI) (CA INDEX NAME)

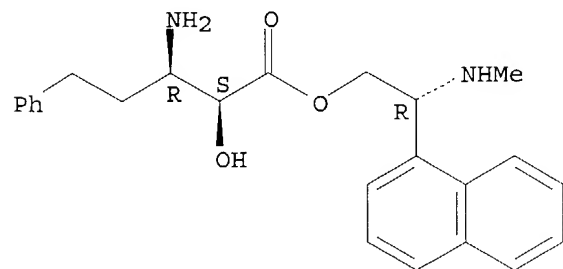
Absolute stereochemistry.



RN 656833-43-1 HCAPLUS

CN D-threo-Pentonic acid, 3-amino-3,4,5-trideoxy-5-phenyl-,
(2R)-2-(methylamino)-2-(1-naphthalenyl)ethyl ester (9CI) (CA INDEX NAME)

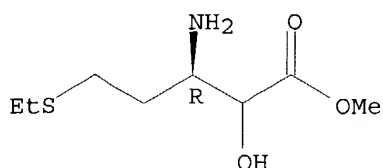
Absolute stereochemistry.



RN 656833-44-2 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, methyl
ester, (2ξ)- (9CI) (CA INDEX NAME)

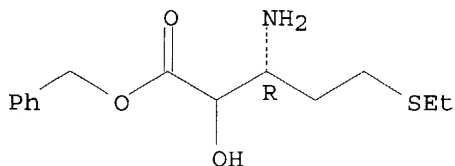
Absolute stereochemistry.



RN 656833-45-3 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, phenylmethyl ester, (2ξ)-(9CI) (CA INDEX NAME)

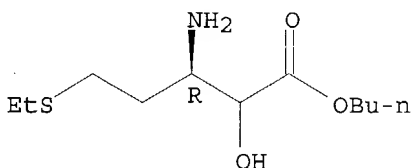
Absolute stereochemistry.



RN 656833-46-4 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, butyl ester, (2ξ)-(9CI) (CA INDEX NAME)

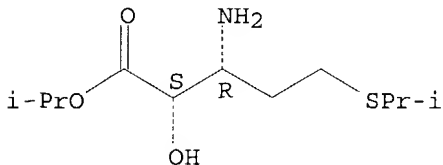
Absolute stereochemistry.



RN 656833-47-5 HCAPLUS

CN D-threo-Pentonic acid, 3-amino-3,4-dideoxy-5-S-(1-methylethyl)-5-thio-, 1-methylethyl ester (9CI) (CA INDEX NAME)

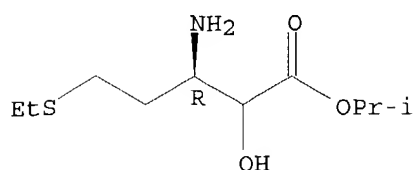
Absolute stereochemistry.



RN 656833-48-6 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, 1-methylethyl ester, (2ξ)-(9CI) (CA INDEX NAME)

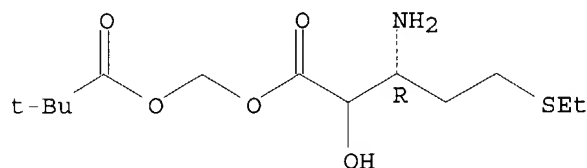
Absolute stereochemistry.



RN 656833-49-7 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-,
(2,2-dimethyl-1-oxopropoxy)methyl ester, (2ξ)-(9CI) (CA INDEX NAME)

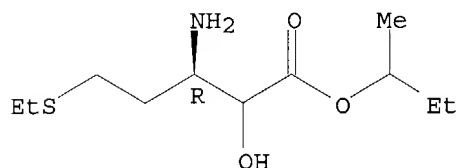
Absolute stereochemistry.



RN 656833-50-0 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-,
1-methylpropyl ester, (2ξ)-(9CI) (CA INDEX NAME)

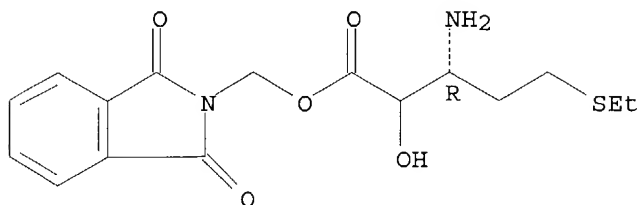
Absolute stereochemistry.



RN 656833-51-1 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-,
(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl ester, (2ξ)-(9CI) (CA
INDEX NAME)

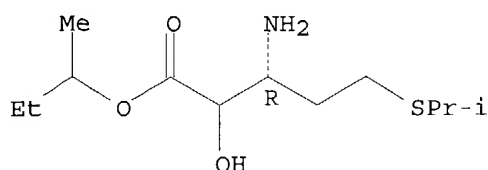
Absolute stereochemistry.



RN 656833-52-2 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-(1-methylethyl)-5-thio-,
1-methylpropyl ester, (2ξ)-(9CI) (CA INDEX NAME)

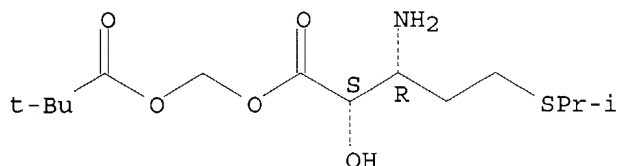
Absolute stereochemistry.



RN 656833-53-3 HCAPLUS

CN D-threo-Pentonic acid, 3-amino-3,4-dideoxy-5-S-(1-methylethyl)-5-thio-, (2,2-dimethyl-1-oxopropoxy)methyl ester (9CI) (CA INDEX NAME)

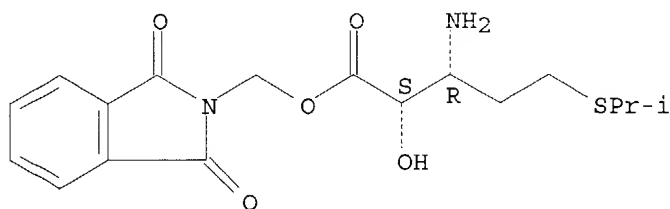
Absolute stereochemistry.



RN 656833-54-4 HCAPLUS

CN D-threo-Pentonic acid, 3-amino-3,4-dideoxy-5-S-(1-methylethyl)-5-thio-, (1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl ester (9CI) (CA INDEX NAME)

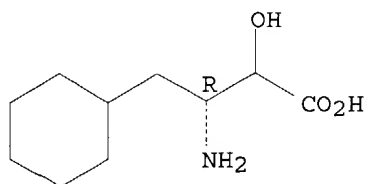
Absolute stereochemistry.



RN 656833-88-4 HCAPLUS

CN Cyclohexanebutanoic acid, β-amino-α-hydroxy-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Compds. β-amino acid derivs. H₂NCHR₁CH(OH)CO₂R₂ [R₁ = alkyl, alkylsulfanylalkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, (heterocycle)alkyl, hydroxyalkyl; R₂ = H, alkenyl, alkyl, alkylcarbonyloxyalkyl, alkylcarbonylalkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, heterocycle, (heterocycle)alkyl] or their therapeutically-acceptable salts are useful for treating conditions which

arise from or are exacerbated by angiogenesis. Also disclosed are pharmaceutical compns. comprising the compds., methods of treatment using the compds., methods of inhibiting angiogenesis, and methods of treating cancer. Thus, (2RS,3R)-3-amino-2-hydroxy-5-(methylsulfanyl)pentanoic acid was prepared

L85 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2002:11099 HCAPLUS

DOCUMENT NUMBER: 136:69597

TITLE: Synthesis of hydrazide and α -alkoxyamide angiogenesis inhibitors

INVENTOR(S): Craig, Richard A.; Kawai, Megumi; Lynch, Linda M.; Patel, Jyoti R.; Sheppard, George S.; Wang, Jieyi; Yang, Fan; Ba-Maung, Nwe

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 78 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002002152	A1	20020103	US 2001-833917	20010412
US 2004167126	A1	20040826	US 2004-782502	20040219
PRIORITY APPLN. INFO.:			US 2000-197262P	P 20000414
			US 2001-833917	A1 20010412

OTHER SOURCE(S): MARPAT 136:69597

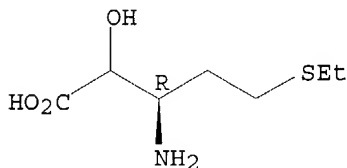
IT 369360-56-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of hydrazide and α -alkoxyamide angiogenesis inhibitors)

RN 369360-56-5 HCAPLUS

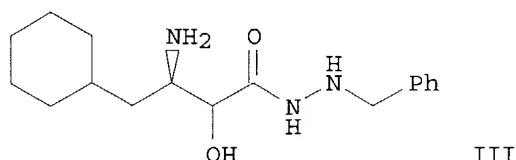
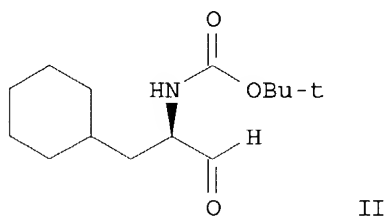
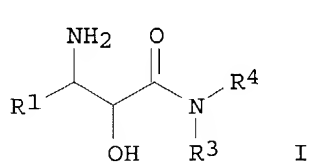
CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, (2 ξ)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

NPA



AB Title compds. I [R1 = alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, (heterocycle)alkyl, R5S-alkylene; R3 = H, alkyl, arylalkyl; R4 = NR6R7, OR8; R5 = alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl; R6-7 = H, alkanoyl, alkenyl, alkenyloxyalkyl, alkoxyalkyl, alkoxyalkylalkyl, alkyl, alkylthioalkyl, aryl, arylalkanoyl, etc.; or R6-7 together are arylalkylidene; or R6-7 together with the nitrogen atom to which they are attached, form a heterocycle; R8 = H, alkanoylalkyl, alkenyl, alkoxyalkylalkyl, alkyl, amidoalkyl, aryl, arylalkyl, etc.; R9-10 = H, alkyl, aryl] were prepared Over 450 synthetic examples were reported. For instance, (2R)-2-(Boc)amino-3-cyclohexylpropanoic acid was reduced to the corresponding alc. (PhMe, Red-Al, 0°C, room temperature 1 h) and oxidized to II (DMSO, Py•SO3, Et3N, room temperature 30 min). II was converted to the bisulfite addition product

(H2O, NaHSO3, 5°C, 24 h) and reacted with KCN to give the α-hydroxy nitrile intermediate which was hydrolyzed to the carboxylic acid (12 N HCl, reflux, 21 h) and converted to III by condensation with benzylhydrazine (DCM/DMA, DIC, NMM, HOBT). Selected compds. I had IC50 < 0.1 μM for MetAP2. I are useful for inhibiting angiogenesis.

L85 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 1999:723016 HCAPLUS

DOCUMENT NUMBER: 131:322917

TITLE: Preparation of substituted beta-amino acid as inhibitors of methionine aminopeptidase-2 and angiogenesis

INVENTOR(S): Craig, Richard A.; Henkin, Jack; Kawai, Megumi; Lynch, Linda Lijewski; Patel, Jyoti; Sheppard, George S.; Wang, Jieyi

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9957098	A2	19991111	WO 1999-US9641	19990430
WO 9957098	A3	20000727		
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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AU 9938778	A1	19991123	AU 1999-38778	19990430
EP 1073633	A2	20010207	EP 1999-921611	19990430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
US 6242494	B1	20010605	US 1999-303807	19990430
BR 9910092	A	20020122	BR 1999-10092	19990430
JP 2002513781	T2	20020514	JP 2000-547068	19990430
NO 2000005506	A	20001229	NO 2000-5506	20001101
BG 104981	A	20010731	BG 2000-104981	20001124
PRIORITY APPLN. INFO.:				
			US 1998-71714	A 19980501
			US 1999-303807	A 19990430
			US 1998-83877P	P 19980501
			WO 1999-US9641	W 19990430

OTHER SOURCE(S): MARPAT 131:322917

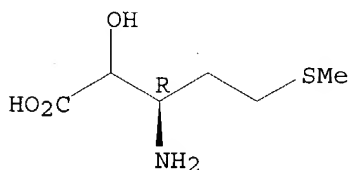
IT 248928-74-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of substituted β -amino acid as inhibitors of methionine aminopeptidase and angiogenesis)

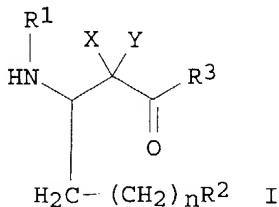
RN 248928-74-7 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-methyl-5-thio-, (2 ξ)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



1, 2, 3'

~~GI~~AB Substituted β -amino acids I [R1 = H, alkyl, carboxaldehyde, alkanoyl,

substituted alkyl ester; R2 = alkyl, cycloalkyl, (cycloalkyl)alkyl, substituted alkylthio ester, aryl, arylalkyl, substituted alkyl thio; R3 = aminoacyl, substituted alkylamine, cycloalkyl, aryl, ester, amide, heterocycle, substituted amine, sulfonamide; X = OH, sulfhydryl; Y = H; XY = O, S; n = 0-2] were prepared as potent inhibitors of methionine aminopeptidase-2 and are thus, useful in inhibiting angiogenesis and disease conditions which depend upon angiogenesis for their development such as diabetic retinopathy, tumor growth, and conditions of inflammation. Pharmaceutical compds. containing the compds. and methods of inhibiting methionine aminopeptidase-2, and angiogenesis are also disclosed. Thus, (2RS,3S,1'S)-N-((1-ethoxycarbonyl)ethyl)-3-amino-2-hydroxy-5-(methylthio)pentanamide hydrochloride was prepared and tested as methionine aminopeptidase-2 inhibitor (IC50 = 11 μ M).

L85 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:270148 HCAPLUS

DOCUMENT NUMBER: 139:2799

TITLE: Physiologically Relevant Metal Cofactor for Methionine Aminopeptidase-2 Is Manganese

AUTHOR(S): Wang, Jieyi; Sheppard, George S.; Lou, Pingping; Kawai, Megumi; Park, Chang; Egan, David A.; Schneider, Andrew; Bouska, Jennifer; Lesniewski, Rick; Henkin, Jack

CORPORATE SOURCE: Cancer Research, Advanced Technology, Global Pharmaceutical R & D, Abbott Laboratories, Abbott Park, IL, 60064, USA

SOURCE: Biochemistry (2003), 42(17), 5035-5042
CODEN: BICHAW; ISSN: 0006-2960

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:2799

IT 369360-56-5P

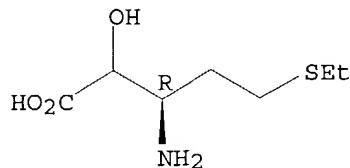
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(methionine aminopeptidase-2 inhibitors with selectivity for metal cofactors show methionine aminopeptidase-2 is manganese-dependent enzyme)

RN 369360-56-5 HCAPLUS

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, (2 ξ)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB The identity of the physiol. metal cofactor for human methionine aminopeptidase-2 (MetAP2) has not been established. To examine this question, we first investigated the effect of eight divalent metal ions, including Ca²⁺, Co²⁺, Cu²⁺, Fe²⁺, Mg²⁺, Mn²⁺, Ni²⁺, and Zn²⁺, on recombinant human methionine aminopeptidase apoenzymes in releasing N-terminal methionine from three peptide substrates: MAS, MGAQFSKT, and 3H-MASK(biotin)G. The activity of MetAP2 on either MAS or MGAQFSKT was enhanced 15-25-fold by Co²⁺ or Mn²⁺ metal ions in a broad concentration range

(1-1000 μM). In the presence of reduced glutathione to mimic the cellular environment, Co^{2+} and Mn^{2+} were also the best stimulators (.apprx.30-fold) for MetAP2 enzyme activity. To determine which metal ion is physiol. relevant, we then tested inhibition of intracellular MetAP2 with synthetic inhibitors selective for MetAP2 with different metal cofactors. A-310840 below 10 μM did not inhibit the activity of MetAP2- Mn^{2+} but was very potent against MetAP2 with other metal ions including Co^{2+} , Fe^{2+} , Ni^{2+} , and Zn^{2+} in the in vitro enzyme assays. In contrast, A-311263 inhibited MetAP2 with Mn^{2+} , as well as Co^{2+} , Fe^{2+} , Ni^{2+} , and Zn^{2+} . In cell culture assays, A-310840 did not inhibit intracellular MetAP2 enzyme activity and did not inhibit cell proliferation despite its ability to permeate and accumulate in cytosol, while A-311263 inhibited both intracellular MetAP2 and proliferation in a similar concentration range, indicating cellular MetAP2 is functioning as a manganese enzyme but not as a cobalt, zinc, iron, or nickel enzyme. We conclude that MetAP2 is a manganese enzyme and that therapeutic MetAP2 inhibitors should inhibit MetAP2- Mn^{2+} .

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Arfin, S	1995	92	7714	Proc Natl Acad Sci U	HCAPLUS
Ash, D	1982	257	9261	J Biol Chem	HCAPLUS
Bazan, J	1994	91	2473	Proc Natl Acad Sci U	HCAPLUS
Bradshaw, R	1998	23	263	Trends Biochem Sci	HCAPLUS
Christianson, D	1997	67	217	Prog Biophys Mol Bio	MEDLINE
Cosper, N	2001	40	13302	Biochemistry	HCAPLUS
D'Souza, V	1999	38	11079	Biochemistry	HCAPLUS
D'Souza, V	2002	41	13096	Biochemistry	HCAPLUS
Griffith, E	1997	4	461	Chem Biol	HCAPLUS
Gupta, N	1993	2	405	Translational Regula	
Li, X	1996	227	152	Biochem Biophys Res	HCAPLUS
Li, X	1995	1260	333	Biochim Biophys Acta	HCAPLUS
Lowther, W	2000	1477	157	Biochim Biophys Acta	HCAPLUS
Nagase, T	1995	2	37	DNA Res	HCAPLUS
Phillips, P	2001			AACR-EORTC-NCI Sympo	
Resh, M	1999	1451	1	Biochim Biophys Acta	HCAPLUS
Roderick, S	1993	32	3907	Biochemistry	HCAPLUS
Sin, N	1997	1094	6099	Proc Natl Acad Sci U	
Teil, E	1994		1	Bioinorganic Chemist	
Turk, B	1999	6	823	Chem Biol	HCAPLUS
Walker, K	1998	7	2684	Protein Sci	HCAPLUS
Wang, J	2000	77	465	J Cell Biochem	HCAPLUS
Wilce, M		95	3472	Proc Natl Acad Sci U	HCAPLUS
Yang, G	2001	40	10645	Biochemistry	HCAPLUS
Yocum, C	1999	3	182	Curr Opin Chem Biol	HCAPLUS

L85 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:780840 HCAPLUS

DOCUMENT NUMBER: 135:331197

TITLE: Synthesis of hydrazide and α -alkoxyamide
angiogenesis inhibitors

INVENTOR(S): Craig, Richard A.; Kawai, Megumi; Lynch, Linda M.;
Patel, Jyoti R.; Sheppard, George S.; Wang, Jieyi;
Yang, Fan; Ba-Maung, Nwe Y.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 173 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079157	A1	20011025	WO 2001-US12274	20010413
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1272456	A1	20030108	EP 2001-925029	20010413
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001007204	A	20040225	BR 2001-7204	20010413
JP 2004509063	T2	20040325	JP 2001-576759	20010413
PRIORITY APPLN. INFO.:			US 2000-549995	A 20000414
			US 2001-813008	A 20010321
			WO 2001-US12274	W 20010413

OTHER SOURCE(S): MARPAT 135:331197

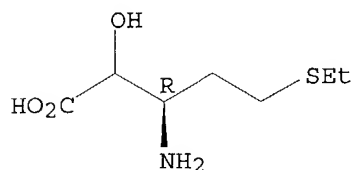
IT 369360-56-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of hydrazide and α -alkoxyamide angiogenesis inhibitors)

RN 369360-56-5 HCAPLUS

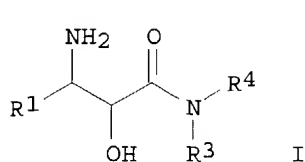
CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, (2 ξ)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

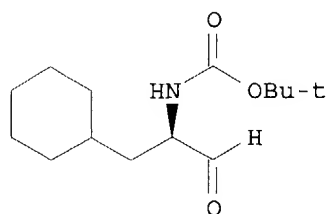


GI

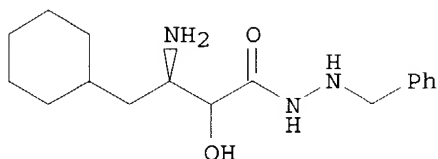
NPA



I



II



III

AB Title compds. I [R1 = alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, (heterocycle)alkyl, R5S-alkylene; R3 = H, alkyl, arylalkyl; R4 = NR6R7, OR8; R5 = alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl; R6-7 = H, alkanoyl, alkenyl, alkenyloxyalkyl, alkoxyalkyl, alkoxyalkonylalkyl, alkyl, alkylthioalkyl, aryl, arylalkanoyl, etc.; or R6-7 together are arylalkylidene; or R6-7 together with the nitrogen atom to which they are attached, form a heterocycle; R8 = H, alkanoylalkyl, alkenyl, alkoxyalkonylalkyl, alkyl, amidoalkyl, aryl, arylalkyl, etc.; R9-10 = H, alkyl, aryl] were prepared. Over 450 synthetic examples were reported. For instance, (2R)-2-(Boc)amino-3-cyclohexylpropanoic acid was reduced to the corresponding alc. (PhMe, Red-Al, 0°C, room temperature 1 h) and oxidized to II (DMSO, Py•SO3, Et3N, room temperature 30 min). II was converted to the bisulfite addition product

(H2O, NaHSO3, 5°C, 24 h) and reacted with KCN to give the α-hydroxy nitrile intermediate which was hydrolyzed to the carboxylic acid (12 N HCl, reflux, 21 h) and converted to III by condensation with benzylhydrazine (DCM/DMA, DIC, NMM, HOBt). Selected compds. I had IC50 < 0.1 μM for MetAP2. I are useful for inhibiting angiogenesis.

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
American Cyanamid Co	1999			WO 9942436 A	HCAPLUS
Merck Patent GmbH	2000			DE 19831710 A	HCAPLUS
Zask, A	1999			US 5977408 A	HCAPLUS

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YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/(N):y

L85 ANSWER 7 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2004:216017 USPATFULL

TITLE:

INVENTOR(S):

Hydrazide and alkoxyamide angiogenesis inhibitors
 Craig, Richard A., Racine, WI, UNITED STATES
 Kawai, Megumi, Libertyville, IL, UNITED STATES
 Lynch, Linda M., Pleasant Prairie, WI, UNITED STATES
 Patel, Jyoti R., Libertyville, IL, UNITED STATES
 Sheppard, George S., Wilmette, IL, UNITED STATES

NRA

Wang, Jieyi, Lake Bluff, IL, UNITED STATES
 Yang, Fan, Highwood, IL, UNITED STATES
 Ba-Maung, Nwe, Niles, IL, UNITED STATES
 Searle, Xenia Beebe, Grayslake, IL, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004167126	A1	20040826
APPLICATION INFO.:	US 2004-782502	A1	20040219 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2001-833917, filed on 12 Apr 2001, ABANDONED		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-197262P	20000414 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	STEVEN F. WEINSTOCK, ABBOTT LABORATORIES, 100 ABBOTT PARK ROAD, DEPT. 377/AP6A, ABBOTT PARK, IL, 60064-6008	
NUMBER OF CLAIMS:	40	
EXEMPLARY CLAIM:	1	
LINE COUNT:	6859	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

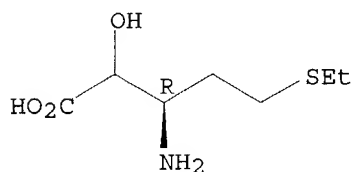
IT 369360-56-5P

(intermediate; synthesis of hydrazide and α -alkoxyamide angiogenesis inhibitors)

RN 369360-56-5 USPATFULL

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, (2 ξ)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Compounds having the formula ##STR1##

are methionine aminopeptidase type 2 (MetAP2) inhibitors and are useful for inhibiting angiogenesis. Also disclosed are MetAP2-inhibiting compositions and methods of inhibiting angiogenesis in a mammal.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L85 ANSWER 8 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2001:82819 USPATFULL

TITLE: Substituted β -amino acid inhibitors of methionine aminopeptidase-2

INVENTOR(S): Craig, Richard A., Racine, WI, United States
 Henkin, Jack, Highland Park, IL, United States
 Kawai, Megumi, Libertyville, IL, United States
 Lynch, Linda M., Pleasant Prairie, WI, United States
 Patel, Jyoti, Libertyville, IL, United States
 Sheppard, George S., Willmette, IL, United States
 Wang, Jieyi, Gurnee, IL, United States

PATENT ASSIGNEE(S): Abbott Laboratories, Abbott Park, IL, United States

(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6242494	B1	20010605
APPLICATION INFO.:	US 1999-303807		19990430 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-83877P	19980501 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Aulakh, C. S.	
LEGAL REPRESENTATIVE:	Donner, B. Gregory, Steele, Gregory W.	
NUMBER OF CLAIMS:	15	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5205	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

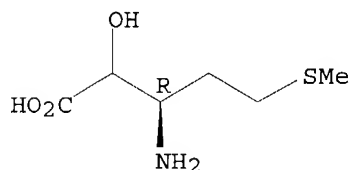
IT 248928-74-7P

(preparation of substituted β -amino acid as inhibitors of methionine aminopeptidase and angiogenesis)

RN 248928-74-7 USPATFULL

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-methyl-5-thio-, (2 ξ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB A class of substituted β -amino acids are potent inhibitor of methionine aminopeptidase type 2 (MetAP2) and are thus useful in inhibiting angiogenesis and disease conditions which depend upon angiogenesis for their development such as diabetic retinopathy, tumor growth, and conditions of inflammation. Pharmaceutical compounds containing the compounds and methods of inhibiting methionine aminopeptidase-2, and angiogenesis are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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